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JAPANESE PATENT OFFICE

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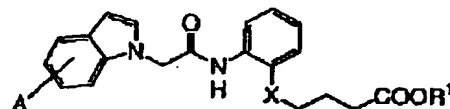
## (54) INDOLE DERIVATIVE

## (57) Abstract:

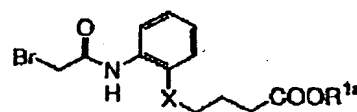
PURPOSE: To obtain a new compound having steroid  $5\alpha$ -reductase-inhibiting action and useful for treating prostatic hypertrophy, prostate cancer and acne.

CONSTITUTION: A compound of formula I ( $R^1$  is H or lower alkyl; A is  $\text{NO}_2$  or  $\text{BR}^2$  [ $\text{BR}^2$  is  $\text{CONHR}^2$ ,  $\text{COOR}^2$  or  $\text{OR}^2$ ;  $R^2$  is H,  $\text{CHR}^3$  or  $\text{R}^4$  ( $R^3$  and  $R^4$  are each H, an alkyl or an aryl)]; X is O or  $\text{S(O)}_n$ ; (n) is 0-2) or its salt, e.g. 4-{2-[[5-nitroindol-1-yl]acetyl]amino}phenoxy}lactic acid ethyl. The compound of formula I is obtained by reacting a compound of formula II ( $R^{1a}$  is a lower alkyl) with a compound of formula III in the presence of a base (e.g. potassium tert-butyrate) in a solvent (e.g., dimethylformamide) at  $^\circ\text{C}$  to a boiling point of the solvent for 0.5-6 hr. The compound of formula I is administered at a daily dose of 1mg to 1g/adult in the case of oral administration, at a daily dose of 0.1-100mg in the case of parenteral administration, e.g. intravenous administration and at a daily dose of 10mg to 100mg in the case of dermal administration.

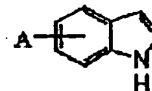
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I



II



III